

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
14 October 2004 (14.10.2004)

PCT

(10) International Publication Number  
**WO 2004/088312 A3**

(51) International Patent Classification<sup>7</sup>: G01N 33/533,  
C07D 209/56, 333/02, C07B 61/00, A61K 38/25

(74) Agent: NOVAGRAAF PATENTS LIMITED; The Cres-  
cent, 54 Blossom Street, York YO24 1AP (GB).

(21) International Application Number:  
PCT/GB2004/001418

(22) International Filing Date: 31 March 2004 (31.03.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0307559.5 2 April 2003 (02.04.2003) GB  
60/465,807 28 April 2003 (28.04.2003) US

(71) Applicant (for all designated States except US): UNI-  
VERSITY OF NOTTINGHAM [GB/GB]; University  
Park, Nottingham NG7 2RD (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): GEORGE, Michael  
[GB/GB]; School of Chemistry, University of Nottingham,  
University Park, Nottingham NG7 2RD (GB). HILL,  
Stephen, John [GB/GB]; Institute of Cell Signalling,  
C Floor, Medical School, Queen's Medical Centre, Not-  
tingham NG7 2UH (GB). KELLAM, Barrie [GB/GB];  
School of Pharmaceutical Sciences, University of Not-  
tingham, University Park, Nottingham NG7 2RD (GB).  
MIDDLETON, Richard, John [GB/GB]; School of Phar-  
maceutical Sciences, University of Nottingham. University  
Park, Nottingham NG7 2RD (GB).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-  
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,  
GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK,  
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,  
ML, MR, NE, SN, TD, TG).

Published:

— with international search report

(88) Date of publication of the international search report:  
24 March 2005

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: FLUORESCENTLY TAGGED LIGANDS

(57) Abstract: Library comprising a plurality of tagged non-peptide ligands of formula (I): (Lig J<sub>L</sub>)<sub>m</sub> L(J<sub>T</sub> Tag)<sub>m</sub> (J<sub>T</sub>L(J<sub>L</sub>Lig)<sub>m</sub>)<sub>p</sub> including and salts thereof comprising one or a plurality of same or different ligand moieties Lig each linked to a one or a plurality of same or different tag moieties Tag via same or different linker moieties L and same or different linking site or linking functionality J<sub>T</sub> and J<sub>L</sub> wherein Lig comprises a GPCR ligand, an inhibitor of an intracellular enzyme or a substrate or inhibitor of a drug transporter; L is a single bond or is any linking moiety selected from a heteroatom such as N, O, S, P, branched or straight chain saturated or unsaturated, optionally heteroatom containing, C<sub>1-600</sub> hydrocarbyl and combinations thereof, which may be monomeric, oligomeric having oligomeric repeat of 2 to 30 or polymeric having polymeric repeat in excess of 30 up to 300; Tag is any known or novel tagging substrate; m are each independently selected from a whole number integer from 1 to 3; p is 0 to 3 characterised in that linking is at same or different linking sites in compounds comprising different Lig, J<sub>L</sub>, L J<sub>T</sub> and/or - Tag and is at different linking sites in compounds comprising same Lig, J<sub>L</sub>, L J<sub>T</sub> and/or - Tag; process for the preparation thereof; process for the preparation of a library compound of formula (I) or a precursor of formula (IV); method for selecting a compound of formula (I) from a library thereof; compound of formula (I) associated with information relating to its pharmacological properties; a novel compound of formula (I) or precursor of formula (IV); uses thereof; methods for binding or inhibition therewith; use of a fluorescent target therewith; a modified cell surface GPCR and cells expressing the same; and a kit comprising a compound of formula (I) and a target therefor.

WO 2004/088312 A3